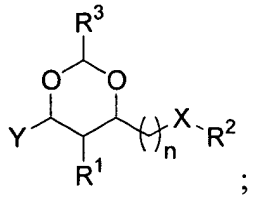
CLAIMS

1. A compound having the structure **(I)**:



;

(I)

and pharmaceutically acceptable derivatives thereof;

wherein $\mathbf{R^1}$ is hydrogen, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety;

n is 1-5;

$\mathbf{R^2}$ is hydrogen, a protecting group, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety;

X is –O-, $-C(R^{2A})_2-$, -S-, or $-NR^{2A}-$, wherein R^{2A} is hydrogen, a protecting group, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety;

or wherein two or more occurrences of R^2 and R^{2A}, taken together, form an alicyclic or heterocyclic moiety, or an aryl or heteroaryl moiety;

$\mathbf{R^3}$ is an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety; and

Y is hydrogen or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety.

2. The compound of claim 1, wherein:

$\mathbf{R^1}$ is hydrogen, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety;

$\mathbf{R^2}$ is hydrogen, a protecting group, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety;

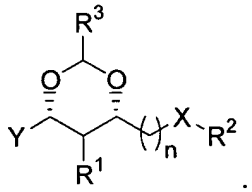
X is –O-, -C(R^{2A})$_2$-, -S-, or -NR^{2A}-, wherein R^{2A} is hydrogen, a protecting group, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety;

or wherein two or more occurrences of R^2 and R^{2A}, taken together, form an alicyclic or heterocyclic moiety, or an aryl or heteroaryl moiety;

R^3 is an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety; and

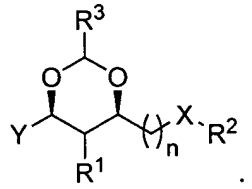
Y is hydrogen or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety.

3. The compound of claim 1, wherein the compound has the structure as shown in formula **(Ia):**



(Ia)

4. The compound of claim 1, wherein the compound has the structure as shown in formula **(Ib):**



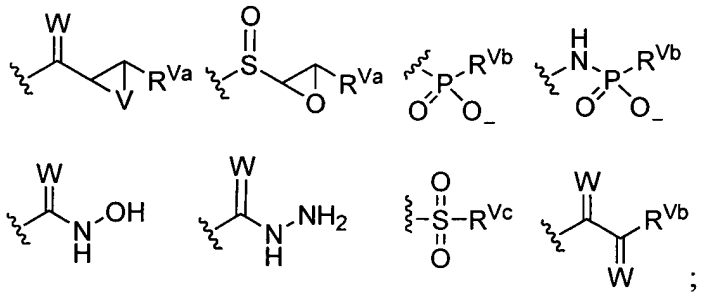
(Ib)

5. The compound of claim 1, wherein when R^3 represents a phenyl group substituted with a moiety having the structure –P-Q, the following groups do not occur simultaneously as defined:

P is selected from the group consisting of substituted or unsubstituted C_4-C_8 alkylidene, C_4-C_8 alkenylidene, C_4-C_8 alkynylidene, and –R-T-U-, wherein R and U are independently

absent or represent a C_2-C_7 alkylidene, a C_2-C_7 alkenylidene, or a C_2-C_7 alkynylidene, and T represents O, S or NR^T, wherein R^T represents hydrogen, lower alkyl, lower alkenyl, lower alkynyl, aralkyl, aryl or heterocyclyl; and

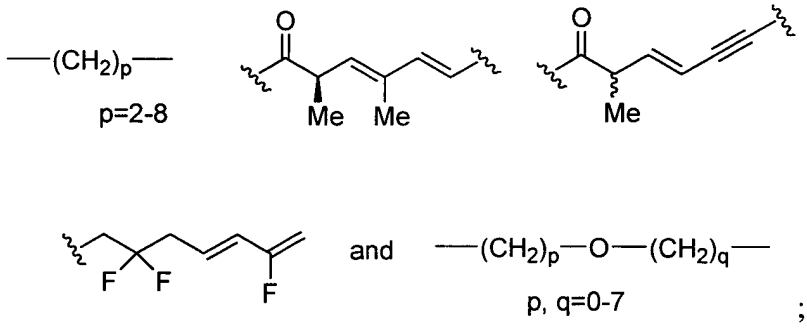
Q is selected from the group consisting of:



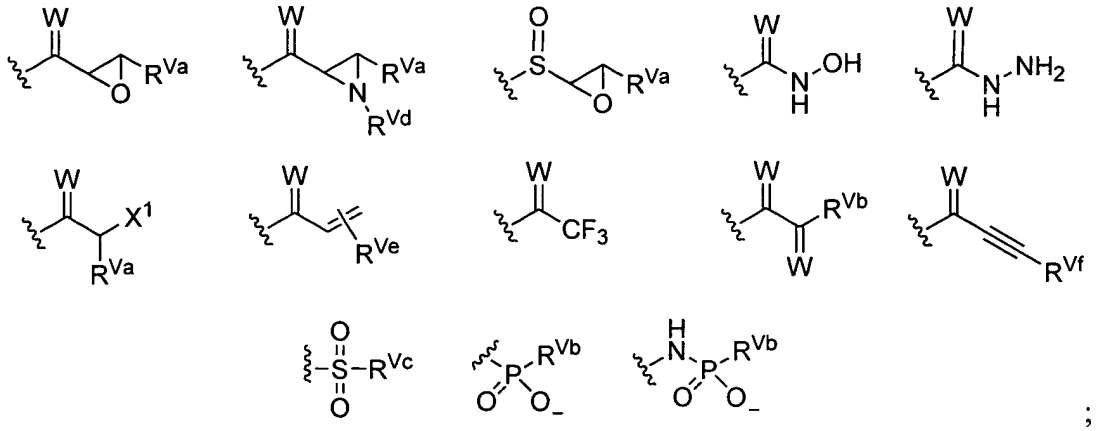
and a boronic acid moiety; wherein W is O or S; V is O, S or $-NR^{Vd}$, wherein R^{Vd} is hydrogen, alkyl, alkoxyxarbonyl, aryloxyxarbonyl, alkylsulfonylarylsulfonyl, or aryl; R^{Va} is hydrogen, alkyl, alkenyl, alkynyl, or aryl; R^{Vb} is hydrogen, alkyl, aryl, alkoxy, aryloxy, amino, hydroxylamino, alkoxylamino or halogen; and R^{Vc} is hydrogen, alkyl, aryl, hydroxyl, alkoxy, aryloxy or amino.

6. The compound of claim 1, wherein when R^3 represents a phenyl group substituted with a moiety having the structure –P-Q, the following groups do not occur simultaneously as defined:

P is selected from the group consisting of:



and Q is selected from the group consisting of:

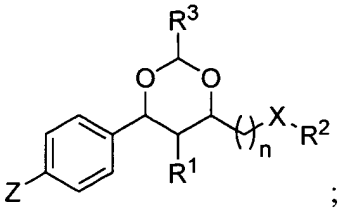


;

wherein W and R^{Va-d} are as defined above; X^1 is a good leaving group (*e.g.*, diazo, halogen, a sulfate or sulfonate ester such as a tosylate or mesylate); R^{Ve} is hydrogen, alkyl, aryl, alkoxy, aryloxy, halogen; and R^{Vf} is hydrogen, alkyl or halogen.

7. The compound of claim 1, wherein Y is an aryl or heteroaryl moiety substituted with Z, wherein Z is hydrogen, $-(CH_2)_qOR^Z$, $-(CH_2)_qSR^Z$, $-(CH_2)_qN(R^Z)_2$, $-C(=O)R^Z$, $-C(=O)N(R^Z)_2$, or an aliphatic, alycyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety, wherein q is 0-4, and wherein each occurrence of R^Z is independently hydrogen, a protecting group, a solid support unit, or an aliphatic, alycyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety.

8. The compound of claim 1, wherein Y is a substituted phenyl moiety and the compound has the structure **(II):**



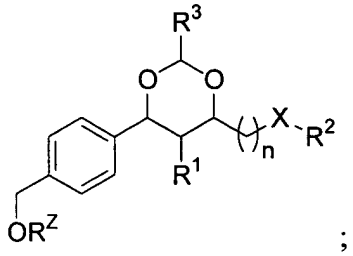
;

(II)

wherein Z is hydrogen, $-(CH_2)_qOR^Z$, $-(CH_2)_qSR^Z$, $-(CH_2)_qN(R^Z)_2$, $-C(=O)R^Z$, $-C(=O)N(R^Z)_2$, or an alkyl, heteroalkyl, aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or –(heteroalkyl)heteroaryl moiety, wherein q is 0-4, and wherein each

occurrence of R^Z is independently hydrogen, a protecting group, a solid support unit, or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or –(heteroalkyl)heteroaryl moiety.

9. The compound of claim 1, wherein Y is a substituted phenyl moiety and the compound has the structure **(III):**

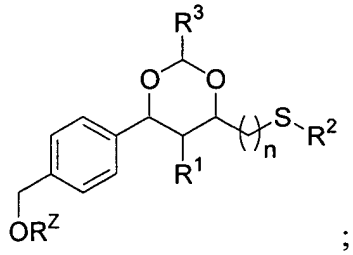


;

(III)

wherein R^Z is hydrogen, a protecting group, a solid support unit, or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or –(heteroalkyl)heteroaryl moiety.

10. The compound of claim 1, wherein Y is a substituted phenyl moiety and X is S and the compound has the structure **(IV):**

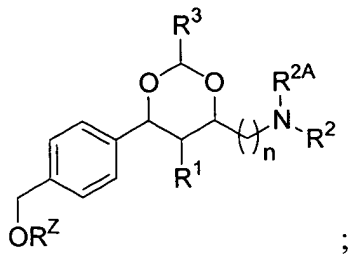


;

(IV)

wherein R^Z is hydrogen, a protecting group, a solid support unit, or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or –(heteroalkyl)heteroaryl moiety.

11. The compound of claim 1, wherein Y is a substituted phenyl moiety and X is $-NR^{2A}$ and the compound has the structure **(V):**

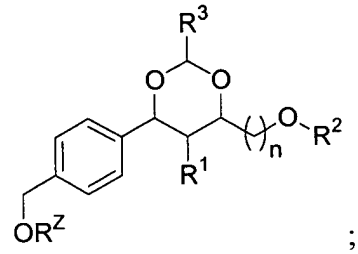


;

(V)

wherein R^Z is hydrogen, a protecting group, a solid support unit, or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or –(heteroalkyl)heteroaryl moiety.

12. The compound of claim 1, wherein Y is a substituted phenyl moiety and X is –O- and the compound has the structure **(VI):**

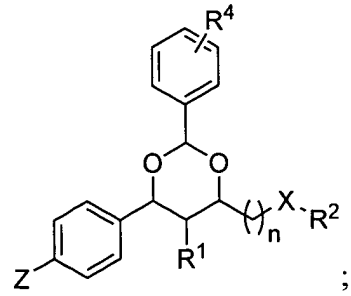


;

(VI)

wherein R^Z is hydrogen, a protecting group, a solid support unit, or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or –(heteroalkyl)heteroaryl moiety.

13. The compound of claim 1, wherein Y is a substituted phenyl moiety and R^3 is a phenyl moiety substituted with R^4 and the compound has the structure **(VII):**

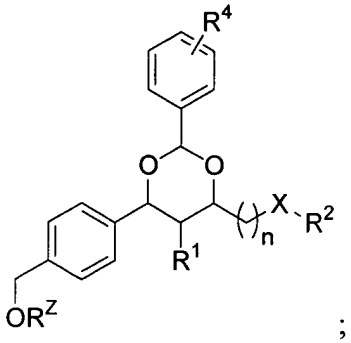


;

(VII)

wherein R^4 is $-(CH_2)_rN(R^{4A})_2$, $-(CH_2)_rSR^{4A}$, $-(CH_2)_rOR^{4A}$, $-(CH_2)_rNR^{4A}C(=O)R^{4B}$, $-(CH_2)_rC(=O)N(R^{4A})_2$, $-S(O)_2R^{4A}$, or is an aliphatic, alycyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety, wherein each occurrence of R^{4B} is independently hydrogen, an aliphatic, alycyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety; and each occurrence of R^{4A} is independently hydrogen, a protecting group, an aliphatic, alycyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety, or is $-C(=O)CH(R^{4C})NH(SO_2)R^{4D}$, $-SO_2R^{4C}$, $-C(=O)R^{4C}$, $-C(=O)N(R^{4C})_2$, $-C(=S)N(R^{4C})_2$, or $-C(=O)(CH_2)_tC(=O)NHR^{4C}$, wherein each occurrence of R^{4C} and R^{4D} is independently hydrogen, a protecting group, hydroxyl, protected hydroxyl, or an aliphatic, alycyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety, wherein r and t are each independently 0-5; and Z is hydrogen, $-(CH_2)_qOR^Z$, $-(CH_2)_qSR^Z$, $-(CH_2)_qN(R^Z)_2$, $-C(=O)R^Z$, $-C(=O)N(R^Z)_2$, or an alkyl, heteroalkyl, aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or –(heteroalkyl)heteroaryl moiety, wherein q is 0-4, and wherein each occurrence of R^Z is independently hydrogen, a protecting group, a solid support unit, or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or –(heteroalkyl)heteroaryl moiety.

14. The compound of claim 13, wherein Z is $-CH_2OR^Z$, and the compound has the structure **(VIII):**



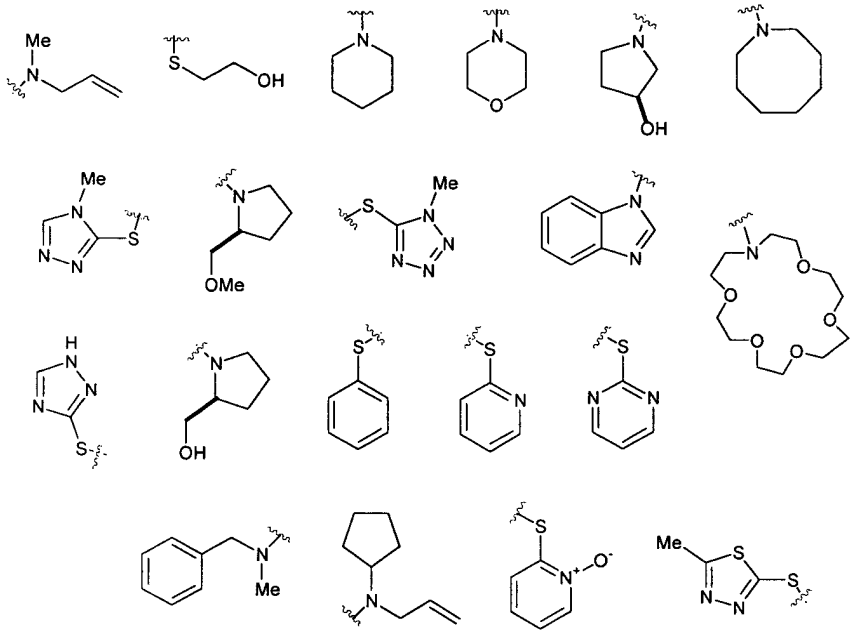
;

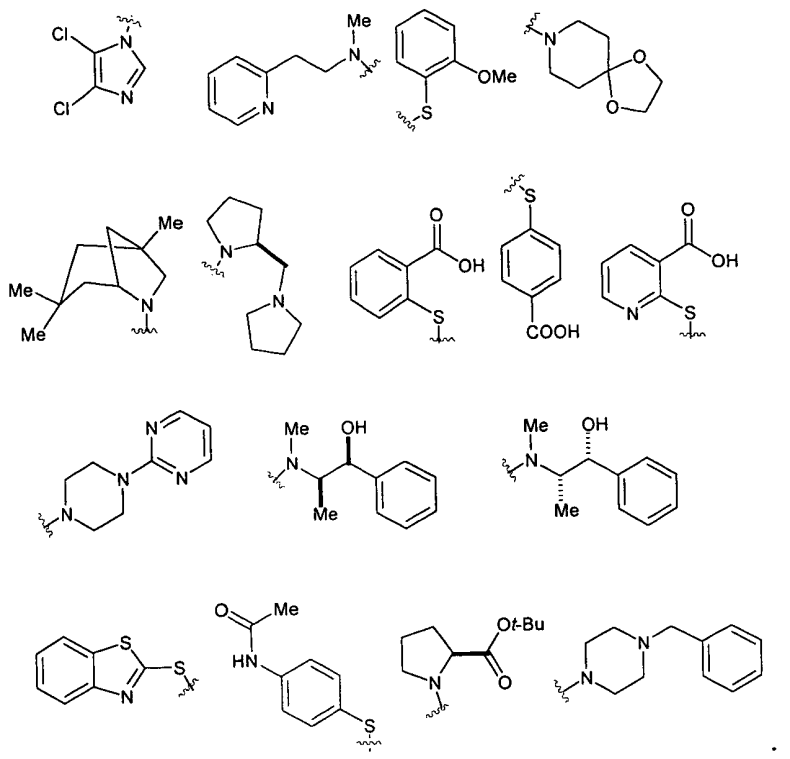
(VIII)

wherein R^Z is hydrogen, a protecting group, a solid support unit, or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or –(heteroalkyl)heteroaryl moiety.

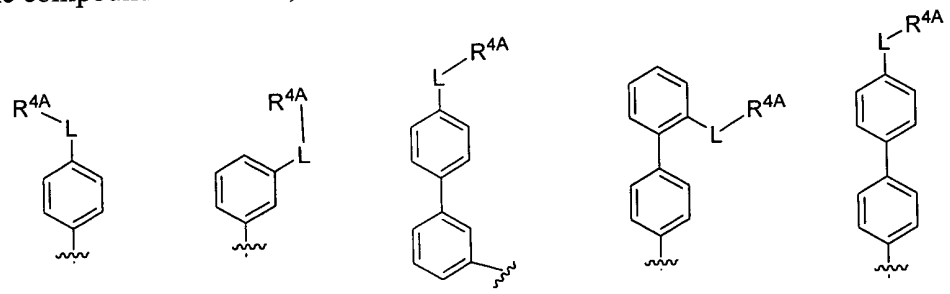
15. The compound of claim 1, wherein R^1 is hydrogen, methyl, or phenyl.

16. The compound of claim 1, wherein X-R^2 has one of the structures:





17. The compound of claim 1, wherein R^3 is one of the following structures:



wherein L is a substituted or unsubstituted C_{4-8}alkylidene or C_{4-8}alkenylidene chain wherein up to two non-adjacent methylene units are independently optionally replaced by CO, CO_2, COCO, $CONR^{Z1}$, $OCONR^{Z1}$, $NR^{Z1}NR^{Z2}$, $NR^{Z1}NR^{Z2}CO$, $NR^{Z1}CO$, $NR^{Z1}CO_2$, $NR^{Z1}CONR^{Z2}$, SO, SO_2, $NR^{Z1}SO_2$, SO_2NR^{Z1}, $NR^{Z1}SO_2NR^{Z2}$, O, S, or NR^{Z1}; wherein each occurrence of R^{Z1} and R^{Z2} is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl; and R^{4A} comprises a metal chelator.

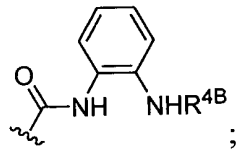
18. The compound of claim 17, wherein L is $-(CH_2)_rN(R^{4C})Alk^1R^{4A}$, wherein r is 0 or 1; R^{4C} is hydrogen, a nitrogen protecting group, alkyl, acyl, heteroalkyl, aryl or heteroaryl; and Alk^1 is a

substituted or unsubstituted C_{3-7}alkylidene or C_{3-7}alkenylidene chain wherein up to two non-adjacent methylene units are independently optionally replaced by CO, CO_2, COCO, $CONR^{Z1}$, $OCONR^{Z1}$, $NR^{Z1}NR^{Z2}$, $NR^{Z1}NR^{Z2}CO$, $NR^{Z1}CO$, $NR^{Z1}CO_2$, $NR^{Z1}CONR^{Z2}$, SO, SO_2, $NR^{Z1}SO_2$, SO_2NR^{Z1}, $NR^{Z1}SO_2NR^{Z2}$, O, S, or NR^{Z1}; wherein each occurrence of R^{Z1} and R^{Z2} is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

19. The compound of claim 17, wherein L is $-(CH_2)_rN(R^{4C})C(=O)Alk^2R^{4A}$, wherein r is 0 or 1; R^{4C} is hydrogen, a nitrogen protecting group, alkyl, acyl, heteroalkyl, aryl or heteroaryl; and Alk^2 is a substituted or unsubstituted C_{3-6}alkylidene or C_{3-6}alkenylidene chain wherein up to two non-adjacent methylene units are independently optionally replaced by CO, CO_2, COCO, $CONR^{Z1}$, $OCONR^{Z1}$, $NR^{Z1}NR^{Z2}$, $NR^{Z1}NR^{Z2}CO$, $NR^{Z1}CO$, $NR^{Z1}CO_2$, $NR^{Z1}CONR^{Z2}$, SO, SO_2, $NR^{Z1}SO_2$, SO_2NR^{Z1}, $NR^{Z1}SO_2NR^{Z2}$, O, S, or NR^{Z1}; wherein each occurrence of R^{Z1} and R^{Z2} is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

20. The compound of claim 17, wherein L is $-(CH_2)_rNHC(=O)(CH_2)_t-$, wherein r is 0 or 1; and t is 3, 4 or 5.

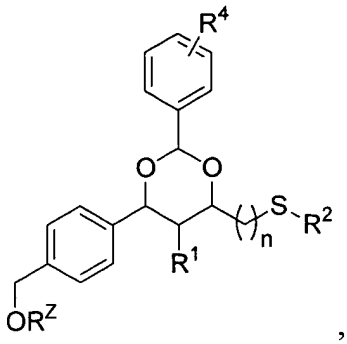
21. The compound of any one of claims 17-20, wherein R^{4A} is $-C(=O)OR^{4B}$, $-C(=O)NHOR^{4B}$ or a moiety having the structure:



;

wherein each occurrence of R^{4B} is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

22. The compound of claim 1, wherein the compound has the structure:



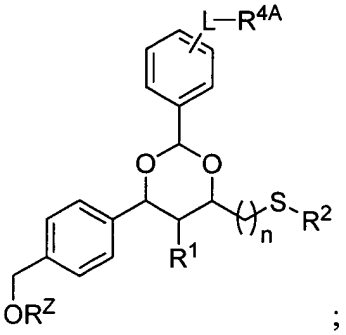
,

wherein R^4 is $-(CH_2)_rN(R^{4A})_2$, $-(CH_2)_rSR^{4A}$, $-(CH_2)_rOR^{4A}$, $-(CH_2)_rNR^{4A}C(=O)R^{4B}$, $-(CH_2)_rC(=O)N(R^{4A})_2$, $-S(O)_2R^{4A}$, or is an aliphatic, alycyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety, wherein each occurrence of R^{4B} is independently hydrogen, an aliphatic, alycyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety; and each occurrence of R^{4A} is independently hydrogen, a protecting group, an aliphatic, alycyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety, or is $-C(=O)CH(R^{4C})NH(SO_2)R^{4D}$, $-SO_2R^{4C}$, $-C(=O)R^{4C}$, $-C(=O)N(R^{4C})_2$, $-C(=S)N(R^{4C})_2$, or $-C(=O)(CH_2)_tC(=O)NHR^{4C}$, wherein each occurrence of R^{4C} and R^{4D} is independently hydrogen, a protecting group, hydroxyl, protected hydroxyl, or an aliphatic, alycyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety, wherein r and t are each independently 0-5; and R^Z is hydrogen, a protecting group, a solid support unit, or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or –(heteroalkyl)heteroaryl moiety.

23. The compound of claim 22, wherein R^1 is hydrogen, phenyl or methyl, R^Z is hydrogen or a solid support unit; R^2 is a substituted or unsubstituted alkyl or heteroalkyl moiety, or a substituted or unsubstituted aryl or heteroaryl moiety; and R^4 is $-(CH_2)_rN(R^{4A})_2$, $-(CH_2)_rSR^{4A}$, $-(CH_2)_rOR^{4A}$, $-(CH_2)_rNR^{4A}C(=O)R^{4B}$, $-(CH_2)_rC(=O)N(R^{4A})_2$, $-S(O)_2R^{4A}$, or is an aliphatic, alycyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety, wherein each occurrence of R^{4B} is independently hydrogen, an aliphatic, alycyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -

(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety; and each occurrence of R^{4A} is independently hydrogen, a protecting group, an aliphatic, alycyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety, or is $-C(=O)CH(R^{4C})NH(SO_2)R^{4D}$, $-SO_2R^{4C}$, $-C(=O)R^{4C}$, $-C(=O)N(R^{4C})_2$, $-C(=S)N(R^{4C})_2$, or $-C(=O)(CH_2)_tC(=O)NHR^{4C}$, wherein each occurrence of R^{4C} and R^{4D} is independently hydrogen, a protecting group, hydroxyl, protected hydroxyl, or an aliphatic, alycyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety, wherein r and t are each independently 0-5.

24. The compound of claim 22, wherein R^4 represents a moiety having the structure $-L-R^4A$ and the compound has the structure:



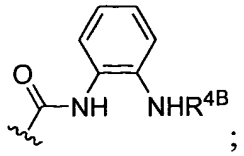
;

wherein L is a linker and R^{4A} comprises a metal chelator.

25. The compound of claim 24, wherein L is a substituted or unsubstituted C_{4-8}alkylidene or C_{4-8}alkenylidene chain wherein up to two non-adjacent methylene units are independently optionally replaced by CO, CO_2, COCO, $CONR^{Z1}$, $OCONR^{Z1}$, $NR^{Z1}NR^{Z2}$, $NR^{Z1}NR^{Z2}CO$, $NR^{Z1}CO$, $NR^{Z1}CO_2$, $NR^{Z1}CONR^{Z2}$, SO, SO_2, $NR^{Z1}SO_2$, SO_2NR^{Z1}, $NR^{Z1}SO_2NR^{Z2}$, O, S, or NR^{Z1}; wherein each occurrence of R^{Z1} and R^{Z2} is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

26. The compound of claim 25, wherein L is $-(CH_2)_rNHC(=O)(CH_2)_t-$, wherein r is 0 or 1; and t is 3, 4 or 5.

27. The compound of claim 24, wherein R^{4A} is $-C(=O)OR^{4B}$, $-C(=O)NHOR^{4B}$ or a moiety having the structure:



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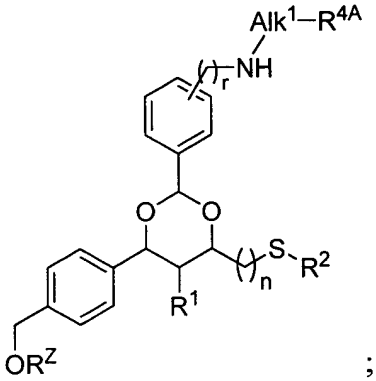
wherein each occurrence of R^{4B} is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

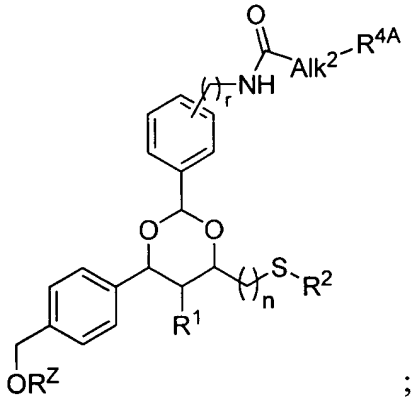
28. The compound of claim 24, wherein the compound has the structure:



;

wherein r is 0 or 1; Alk^1 is a substituted or unsubstituted C_{4-7}alkylidene or C_{4-7}alkenylidene chain wherein up to two non-adjacent methylene units are independently optionally replaced by CO, CO_2, COCO, $CONR^{Z1}$, $OCONR^{Z1}$, $NR^{Z1}NR^{Z2}$, $NR^{Z1}NR^{Z2}CO$, $NR^{Z1}CO$, $NR^{Z1}CO_2$, $NR^{Z1}CONR^{Z2}$, SO, SO_2, $NR^{Z1}SO_2$, SO_2NR^{Z1}, $NR^{Z1}SO_2NR^{Z2}$, O, S, or NR^{Z1}; wherein each occurrence of R^{Z1} and R^{Z2} is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl; R^{4A} comprises a metal chelator; and R^Z is hydrogen, a protecting group, a solid support unit, or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or –(heteroalkyl)heteroaryl moiety.

29. The compound of claim 28, wherein Alk^1 is a moiety having the structure $-C(=O)-Alk^2-$ and the compound has the structure:

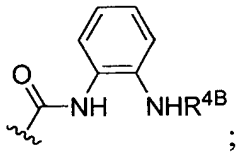


;

wherein Alk^2 is a substituted or unsubstituted C_{3-6}alkylidene or C_{3-6}alkenylidene chain wherein up to two non-adjacent methylene units are independently optionally replaced by CO, CO_2, COCO, $CONR^{Z1}$, $OCONR^{Z1}$, $NR^{Z1}NR^{Z2}$, $NR^{Z1}NR^{Z2}CO$, $NR^{Z1}CO$, $NR^{Z1}CO_2$, $NR^{Z1}CONR^{Z2}$, SO, SO_2, $NR^{Z1}SO_2$, SO_2NR^{Z1}, $NR^{Z1}SO_2NR^{Z2}$, O, S, or NR^{Z1}; wherein each occurrence of R^{Z1} and R^{Z2} is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

30. The compound of claim 29, wherein Alk^2 is a substituted or unsubstituted C_{3-6}alkylidene chain.

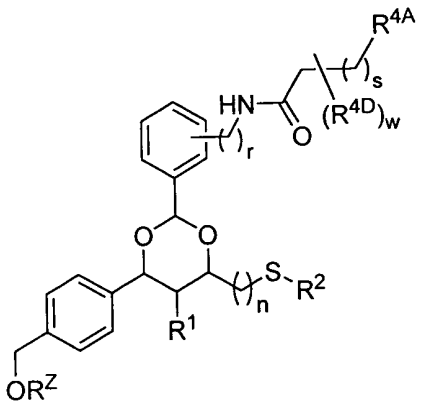
31. The compound of claim 29, wherein R^{4A} is $-C(=O)OR^{4B}$, $-C(=O)NHOR^{4B}$ or a moiety having the structure:



;

wherein each occurrence of R^{4B} is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

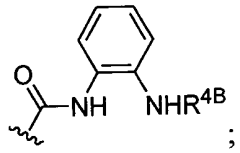
32. The compound of claim 28 having the structure:



;

wherein s is an integer from 2-5; w is an integer from 0-4; R^{4A} comprises a metal chelator and each occurrence of R^{4D} is independently hydrogen, alkyl, heteroalkyl, cycloalkyl, heterocyclic, alkenyl, alkynyl, aryl, heteroaryl, halogen, CN, NO_2, or WR^{W1} wherein W is O, S, NR^{W2}, -C(=O), -S(=O), $-SO_2$, -C(=O)O-, -OC(=O), $-C(=O)NR^{W2}$, $-NR^{W2}C(=O)$; wherein each occurrence of R^{W1} and R^{W2} is independently hydrogen, a protecting group, a prodrug moiety or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl or heteroaryl moiety, or, when W is NR^{W2}, R^{W1} and R^{W2}, taken together with the nitrogen atom to which they are attached, form a heterocyclic or heteroaryl moiety; or any two adjacent occurrences of R^{2B}, taken together with the atoms to which they are attached, form a substituted or unsubstituted, saturated or unsaturated alicyclic or heterocyclic moiety, or a substituted or unsubstituted aryl or heteroaryl moiety.

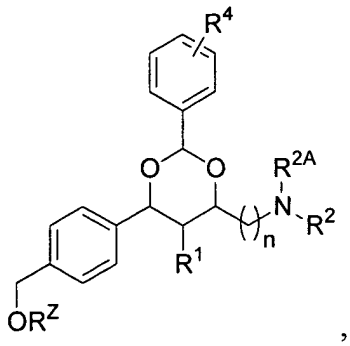
33. The compound of claim 32, wherein R^{4A} is $-C(=O)OR^{4B}$, $-C(=O)NHOR^{4B}$ or a moiety having the structure:



;

wherein each occurrence of R^{4B} is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

34. The compound of claim 1, wherein the compound has the structure:



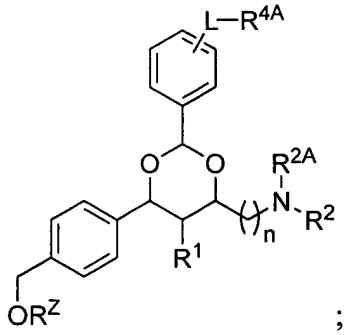
,

wherein R^4 is $-(CH_2)_rN(R^{4A})_2$, $-(CH_2)_rSR^{4A}$, $-(CH_2)_rOR^{4A}$, $-(CH_2)_rNR^{4A}C(=O)R^{4B}$, $-(CH_2)_rC(=O)N(R^{4A})_2$, $-S(O)_2R^{4A}$, or is an aliphatic, alycyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety, wherein each occurrence of R^{4B} is independently hydrogen, an aliphatic, alycyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety; and each occurrence of R^{4A} is independently hydrogen, a protecting group, an aliphatic, alycyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety, or is $-C(=O)CH(R^{4C})NH(SO_2)R^{4D}$, $-SO_2R^{4C}$, $-C(=O)R^{4C}$, $-C(=O)N(R^{4C})_2$, $-C(=S)N(R^{4C})_2$, or $-C(=O)(CH_2)_tC(=O)NHR^{4C}$, wherein each occurrence of R^{4C} and R^{4D} is independently hydrogen, a protecting group, hydroxyl, protected hydroxyl, or an aliphatic, alycyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety, wherein r and t are each independently 0-5; R^{2A} is hydrogen, a protecting group, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aryl or heteroaryl moiety; and R^Z is hydrogen, a protecting group, a solid support unit, or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or –(heteroalkyl)heteroaryl moiety.

35. The compound of claim 34, wherein R^1 is hydrogen, phenyl or methyl, R^Z is hydrogen or a solid support unit; R^2 is a substituted or unsubstituted alkyl or heteroalkyl moiety, or a substituted or unsubstituted aryl or heteroaryl moiety; either or both of R^2 and R^{2A}, or R^2 and R^{2A} taken together with the nitrogen atom to which they are attached, forms a substituted or unsubstituted cycloalkyl or heterocyclic moiety, or a substituted or unsubstituted aryl or heteroaryl moiety; and R^4 is $-(CH_2)_rN(R^{4A})_2$, $-(CH_2)_rSR^{4A}$, $-(CH_2)_rOR^{4A}$, $-(CH_2)_rNR^{4A}C(=O)R^{4B}$,

$-(CH_2)_rC(=O)N(R^{4A})_2$, $-S(O)_2R^{4A}$, or is an aliphatic, alycyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety, wherein each occurrence of R^{4B} is independently hydrogen, an aliphatic, alycyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety; and each occurrence of R^{4A} is independently hydrogen, a protecting group, an aliphatic, alycyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety, or is $-C(=O)CH(R^{4C})NH(SO_2)R^{4D}$, $-SO_2R^{4C}$, $-C(=O)R^{4C}$, $-C(=O)N(R^{4C})_2$, $-C(=S)N(R^{4C})_2$, or $-C(=O)(CH_2)_tC(=O)NHR^{4C}$, wherein each occurrence of R^{4C} and R^{4D} is independently hydrogen, a protecting group, hydroxyl, protected hydroxyl, or an aliphatic, alycyclic, heteroaliphatic, heterocyclic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety, wherein r and t are each independently 0-5.

36. The compound of claim 34, wherein R^4 represents a moiety having the structure $-L-R^4A$ and the compound has the structure:



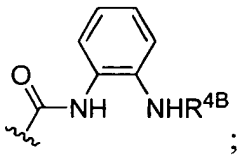
;

wherein L is a linker and R^{4A} comprises a metal chelator.

37. The compound of claim 36, wherein L is a substituted or unsubstituted C_{4-8}alkylidene or C_{4-8}alkenylidene chain wherein up to two non-adjacent methylene units are independently optionally replaced by CO, CO_2, COCO, $CONR^{Z1}$, $OCONR^{Z1}$, $NR^{Z1}NR^{Z2}$, $NR^{Z1}NR^{Z2}CO$, $NR^{Z1}CO$, $NR^{Z1}CO_2$, $NR^{Z1}CONR^{Z2}$, SO, SO_2, $NR^{Z1}SO_2$, SO_2NR^{Z1}, $NR^{Z1}SO_2NR^{Z2}$, O, S, or NR^{Z1}; wherein each occurrence of R^{Z1} and R^{Z2} is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

38. The compound of claim 37, wherein L is $-(CH_2)_rNHC(=O)(CH_2)_t-$, wherein r is 0 or 1; and t is 3, 4 or 5.

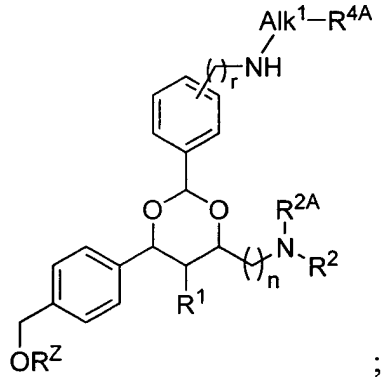
39. The compound of claim 36, wherein R^{4A} is $-C(=O)OR^{4B}$, $-C(=O)NHOR^{4B}$ or a moiety having the structure:



;

wherein each occurrence of R^{4B} is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

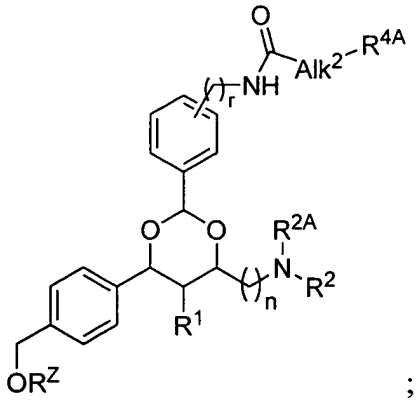
40. The compound of claim 34, wherein the compound has the structure:



;

wherein r is 0 or 1; Alk^1 is a substituted or unsubstituted C_{4-7}alkylidene or C_{4-7}alkenylidene chain wherein up to two non-adjacent methylene units are independently optionally replaced by CO, CO_2, COCO, $CONR^{Z1}$, $OCONR^{Z1}$, $NR^{Z1}NR^{Z2}$, $NR^{Z1}NR^{Z2}CO$, $NR^{Z1}CO$, $NR^{Z1}CO_2$, $NR^{Z1}CONR^{Z2}$, SO, SO_2, $NR^{Z1}SO_2$, SO_2NR^{Z1}, $NR^{Z1}SO_2NR^{Z2}$, O, S, or NR^{Z1}; wherein each occurrence of R^{Z1} and R^{Z2} is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl; R^{4A} comprises a metal chelator; and R^Z is hydrogen, a protecting group, a solid support unit, or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or –(heteroalkyl)heteroaryl moiety.

41. The compound of claim 40, wherein Alk^1 is a moiety having the structure $-C(=O)-Alk^2-$ and the compound has the structure:

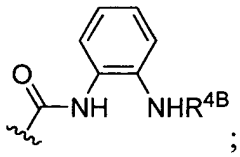


;

wherein Alk^2 is a substituted or unsubstituted C_{3-6}alkylidene or C_{3-6}alkenylidene chain wherein up to two non-adjacent methylene units are independently optionally replaced by CO, CO_2, COCO, $CONR^{Z1}$, $OCONR^{Z1}$, $NR^{Z1}NR^{Z2}$, $NR^{Z1}NR^{Z2}CO$, $NR^{Z1}CO$, $NR^{Z1}CO_2$, $NR^{Z1}CONR^{Z2}$, SO, SO_2, $NR^{Z1}SO_2$, SO_2NR^{Z1}, $NR^{Z1}SO_2NR^{Z2}$, O, S, or NR^{Z1}; wherein each occurrence of R^{Z1} and R^{Z2} is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

42. The compound of claim 41, wherein Alk^2 is a substituted or unsubstituted C_{3-6}alkylidene chain.

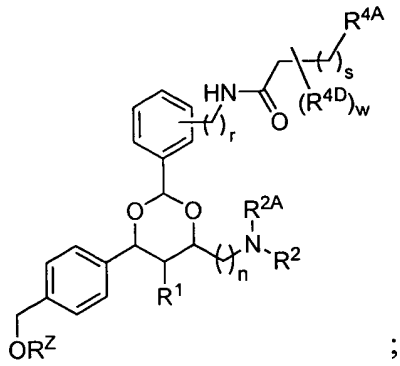
43. The compound of claim 41, wherein R^{4A} is $-C(=O)OR^{4B}$, $-C(=O)NHOR^{4B}$ or a moiety having the structure:



;

wherein each occurrence of R^{4B} is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

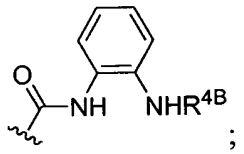
44. The compound of claim 34 having the structure:



;

wherein s is an integer from 2-5; w is an integer from 0-4; R^{4A} comprises a metal chelator and each occurrence of R^{4D} is independently hydrogen, alkyl, heteroalkyl, cycloalkyl, heterocyclic, alkenyl, alkynyl, aryl, heteroaryl, halogen, CN, NO_2, or WR^{W1} wherein W is O, S, NR^{W2}, -C(=O), -S(=O), $-SO_2$, -C(=O)O-, -OC(=O), $-C(=O)NR^{W2}$, $-NR^{W2}C(=O)$; wherein each occurrence of R^{W1} and R^{W2} is independently hydrogen, a protecting group, a prodrug moiety or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl or heteroaryl moiety, or, when W is NR^{W2}, R^{W1} and R^{W2}, taken together with the nitrogen atom to which they are attached, form a heterocyclic or heteroaryl moiety; or any two adjacent occurrences of R^{2B}, taken together with the atoms to which they are attached, form a substituted or unsubstituted, saturated or unsaturated alicyclic or heterocyclic moiety, or a substituted or unsubstituted aryl or heteroaryl moiety.

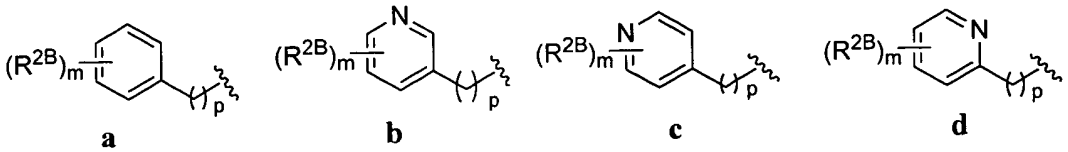
45. The compound of claim 44, wherein R^{4A} is $-C(=O)OR^{4B}$, $-C(=O)NHOR^{4B}$ or a moiety having the structure:



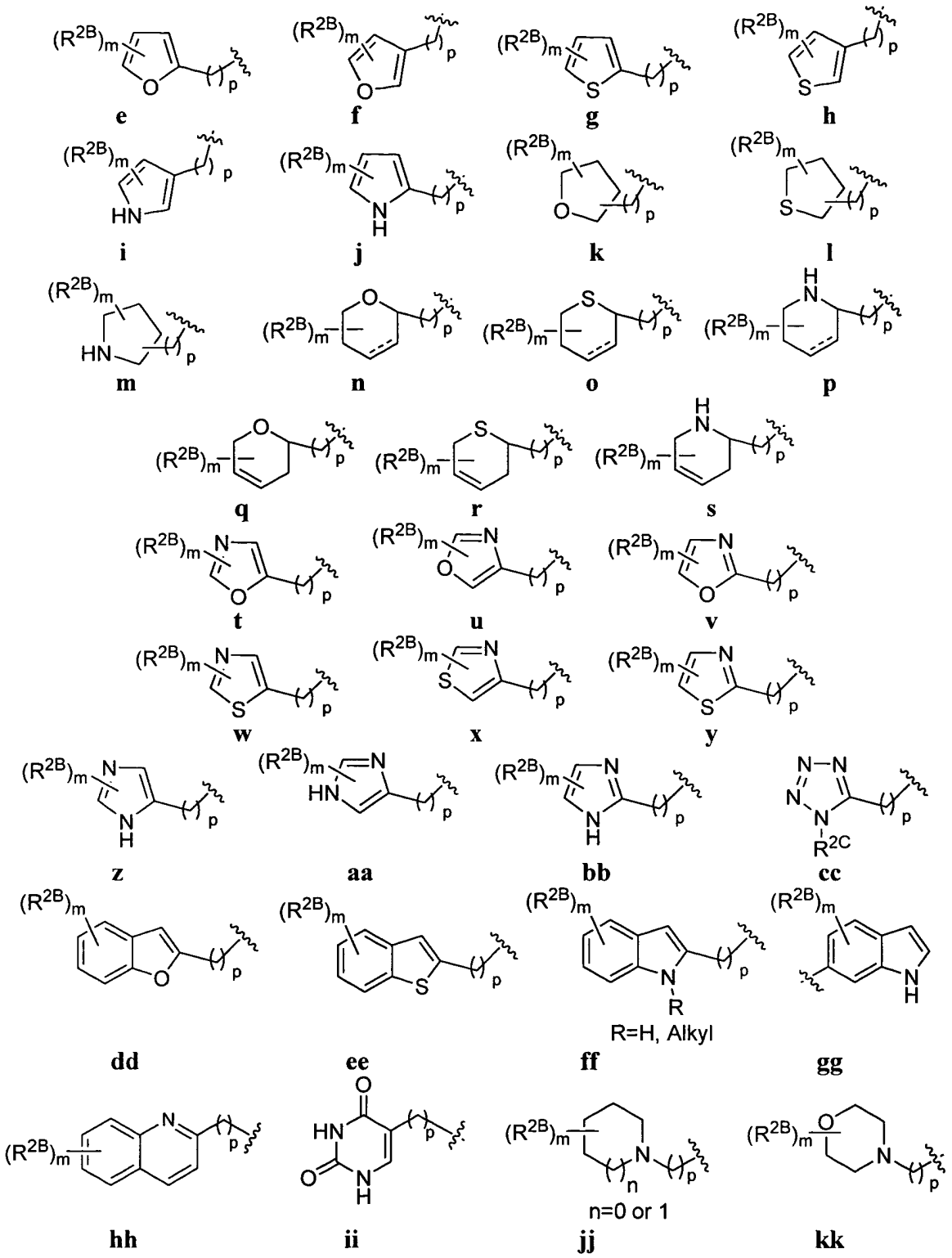
;

wherein each occurrence of R^{4B} is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

46. The compound of claim 1, 22, 32, 34 or 44, wherein R^2 is one of the following structures:



a **b** **c** **d**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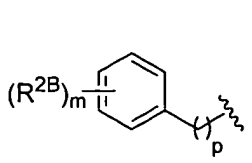
ee
ff
R=H, Alkyl
gg
hh
ii
jj
n=0 or 1
kk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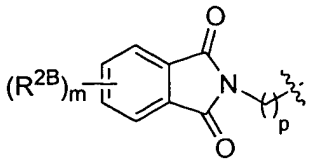
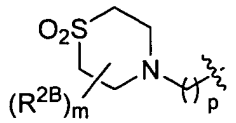

mm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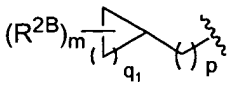
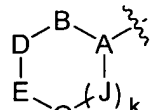
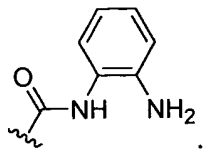

oo

wherein m and p are each independently integers from 0 to 3; q_1 is an integer from 1 to 6; R^{2C} is hydrogen, lower alkyl or a nitrogen protecting group; and each occurrence of R^{2B} is independently hydrogen, halogen, -CN, or WR^{W1} wherein W is O, S, NR^{W2}, -C(=O), -S(=O), -SO_2, -C(=O)O-, -OC(=O), -C(=O)NR^{W2}, -NR^{W2}C(=O); wherein each occurrence of R^{W1} and R^{W2} is independently hydrogen, a protecting group, a prodrug moiety or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl or heteroaryl moiety, or, when W is NR^{W2}, R^{W1} and R^{W2}, taken together with the nitrogen atom to which they are attached, form a heterocyclic or heteroaryl moiety; or any two adjacent occurrences of R^{2B}, taken together with the atoms to which they are attached, form a substituted or unsubstituted, saturated or unsaturated alicyclic or heterocyclic moiety, or a substituted or unsubstituted aryl or heteroaryl moiety.

47. The compound of claim 34 or 44, wherein either or both of R^2, R^{2A}, or R^2 and R^{2A}, taken together with the nitrogen atom to which they are attached comprise  , wherein k is an integer from 0-3; A-B, B-D, D-E, E-G, G-J, two or more occurrences of J, and J-A are each connected by a single or double bond; A is CH, C, or N; B is CR^B, $C(R^B)_2$, C(=O), NR^B, N, O or S; D is CR^D, $C(R^D)_2$, C(=O), NR^D, N, O or S; E is CR^E, $C(R^E)_2$, C(=O), NR^E, N, O or S; G is CR^G, $C(R^G)_2$, C(=O), NR^G, N, O or S; and each occurrence of J is independently CR^J, $C(R^J)_2$, C(=O), NR^J, N, O or S; wherein each occurrence of R^B, R^D, R^E, R^G and R^J is independently hydrogen, halogen, hydroxyl, protected hydroxyl, thiol, protected thiol, amino, protected amino, -COOH, -$CONH_2$, -NHCOOH, -NHCOO(alkyl), -NHCO(alkyl), or a substituted or unsubstituted, cyclic or acyclic, linear or branched alkyl or heteroalkyl moiety, or a substituted or unsubstituted aryl or heteroaryl moiety, or any two or R^B, R^D, R^E, R^G or R^J taken together comprises a substituted or unsubstituted alicyclic or heterocyclic, moiety or a substituted or unsubstituted aryl or heteroaryl moiety.

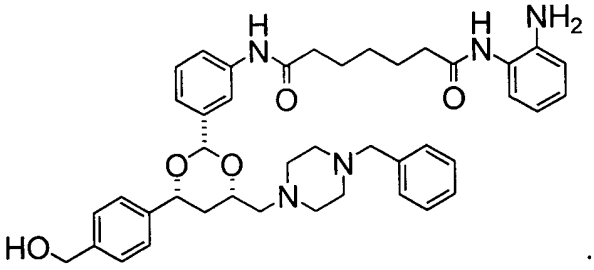
48. The compound of claim 34 or 44, wherein one or both of R^2 and R^{2A} is an aryl or heteroaryl moiety substituted with -COOH, halogen, alkyl, heteroalkyl, aryl, heteroaryl, OH, SH, NO_2, NH_2, or –NHC(=O)alkyl.

49. The compound of claim 1, 22, 32, 34 or 44, wherein R^{4A} is –C(=O)OH, -C(=O)NHOH or a moiety having the structure:

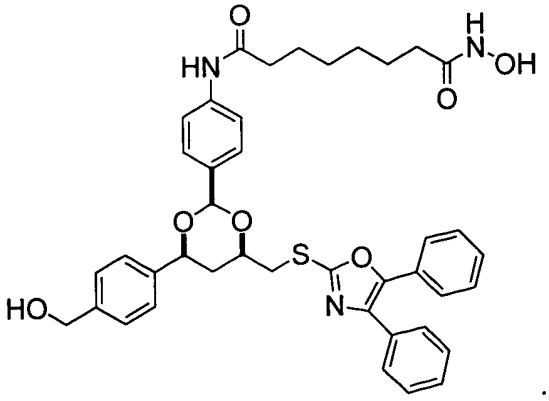


50. The compound of claim 1, 22, 32, 34 or 44, wherein R^{4A} is -C(=O)NHOH.

51. The compound of claim 1 having the structure:



52. The compound of claim 1 having the structure:



53. A pharmaceutical composition comprising:

a compound of any one of claims 1, 22, 32, 34 or 44; and

a pharmaceutically acceptable carrier or diluent, optionally further comprising an additional therapeutic agent.

54. The pharmaceutical composition of claim 53, wherein the compound is present in an amount effective to inhibit histone deacetylase activity.

55. A method for inhibiting histone deacetylase activity in a patient or a biological sample, comprising administering to said patient, or contacting said biological sample with an effective inhibitory amount of a compound of claim 1, 22, 32, 34 or 44.

56. A method for inhibiting histone deacetylase activity in a cell comprising contacting a cell with a compound of any one of claims 1, 22, 32, 34 or 44.

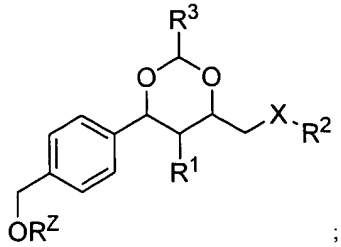
57. The method of claim 55, wherein the histone deacetylase is HDAC1 or HDAC6.

58. A method for treating cancer comprising:

administering to a subject in need thereof a therapeutically effective amount of a compound of any one of claims 1, 22, 32, 34 or 44.

59. The method of claim 58, further comprising administering an additional therapeutic agent.

60. A method for the synthesis of the core structure **(III^A)**

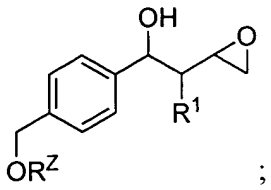


;

(III^A)

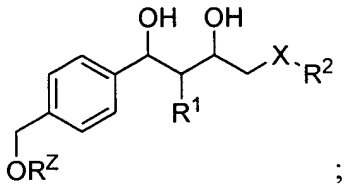
said method comprising steps of:

providing an epoxy alcohol having the structure:



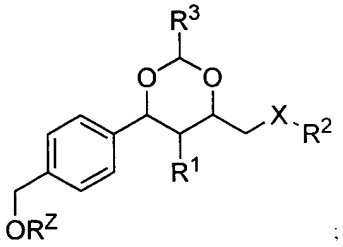
;

reacting the epoxy alcohol with a reagent having the structure R^2XH under suitable conditions to generate a diol having the core structure:



; and

reacting the diol with a reagent having the structure $R^3CH(OMe)_2$ under suitable conditions to generate a scaffold having the core structure:



:

(III^A)

wherein $\mathbf{R^1}$ is hydrogen, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety;

$\mathbf{R^2}$ is hydrogen, a protecting group, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety;

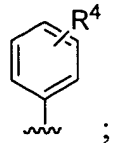
X is –O-, $-C(R^{2A})_2-$, -S-, or $-NR^{2A}-$, wherein R^{2A} is hydrogen, a protecting group, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety;

or wherein two or more occurrences of R^2 and R^{2A}, taken together, form an alicyclic or heterocyclic moiety, or an aryl or heteroaryl moiety;

$\mathbf{R^3}$ is an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety; and

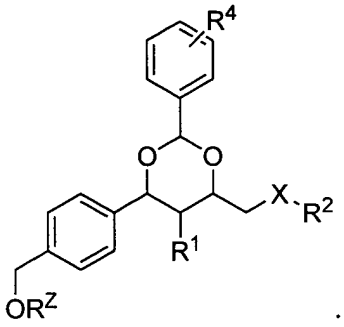
$\mathbf{R^Z}$ is an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety and is attached to a solid support.

61. The method of claim 60, wherein R^3 has the following structure:



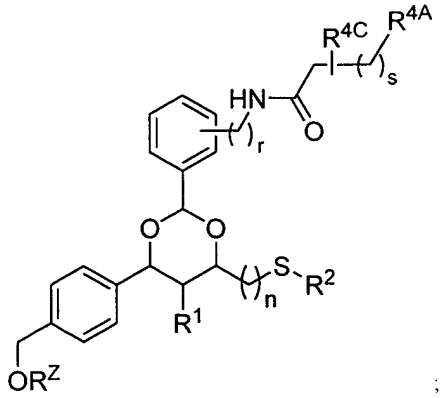
;

and the method generates a scaffold having the core structure:



(VIII^A)

62. A method for the synthesis of the core structure **(IX)**

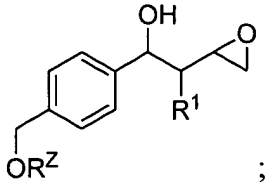


;

(IX)

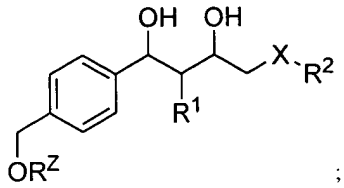
said method comprising steps of:

providing an epoxy alcohol having the structure:

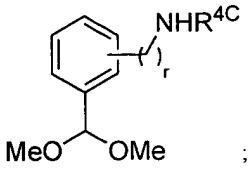


;

reacting the epoxy alcohol with a reagent having the structure R^2XH under suitable conditions to generate a diol having the core structure:

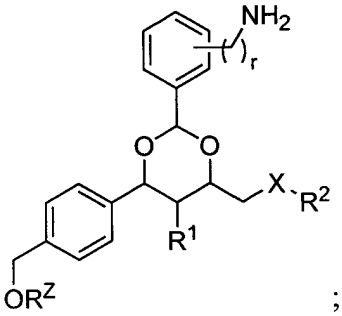


subjecting the diol with a reagent having the structure:



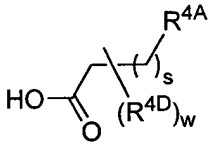
; wherein R^{4C} is a nitrogen protecting group;

to suitable conditions to generate an amine having the structure:

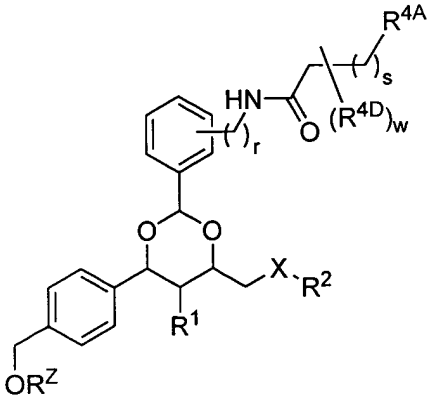


; and

reacting the amine with a reagent having the structure:



under suitable conditions to generate a scaffold having the core structure:



;

(IX)

wherein $\mathbf{R^1}$ is hydrogen, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety;

$\mathbf{R^2}$ is hydrogen, a protecting group, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety;

X is –O-, -$C(R^{2A})_2$-, -S-, or -NR^{2A}-, wherein R^{2A} is hydrogen, a protecting group, or an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety;

or wherein two or more occurrences of R^2 and R^{2A}, taken together, form an alicyclic or heterocyclic moiety, or an aryl or heteroaryl moiety;

r is 0 or 1;

s is an integer from 2-5;

w is an integer from 0-4;

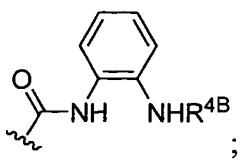
$\mathbf{R^{4A}}$ comprises a metal chelator;

each occurrence of $\mathbf{R^{4D}}$ is independently hydrogen, alkyl, heteroalkyl, cycloalkyl, heterocyclic, alkenyl, alkynyl, aryl, heteroaryl, halogen, CN, NO_2, or WR^{W1} wherein W is O, S, NR^{W2}, -C(=O), -S(=O), -SO_2, -C(=O)O-, -OC(=O), -C(=O)NR^{W2}, -NR^{W2}C(=O); wherein each occurrence of R^{W1} and R^{W2} is independently hydrogen, a protecting group, a prodrug moiety or an alkyl, cycloalkyl, heteroalkyl, heterocyclic, aryl or heteroaryl moiety, or, when W is NR^{W2}, R^{W1} and R^{W2}, taken together with the nitrogen atom to which they are attached, form a heterocyclic or heteroaryl moiety; or any two adjacent occurrences of R^{2B}, taken together with the atoms to which they are attached, form a substituted or unsubstituted, saturated or unsaturated alicyclic or heterocyclic moiety, or a substituted or unsubstituted aryl or heteroaryl moiety; and

$\mathbf{R^Z}$ is an aliphatic, alicyclic, heteroaliphatic, heterocyclic, aromatic or heteroaromatic moiety and is attached to a solid support.

63. The method of claim 60 or 62, wherein the method further comprises cleaving the core structure from the solid support to which it is attached.

64. The method of claim 60 or 62, wherein R^{4A} comprises –C(=O)OR^{4B}, -C(=O)NHOR^{4B} or a moiety having the structure:



;

wherein each occurrence of R^{4B} is independently hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or acyl.

65. The method of claim 64, wherein R^{4B} is hydrogen.